

WHAT IS CLAIMED IS:

1. A process for preparing a chemical compound comprising the steps of:

- 5 (i) providing a tetrazolo[1,5-a]quinolin-5-ol; and
(ii) nitrating the compound from step (i) to provide a 4-nitrotetrazolo[1,5-a]quinolin-5-ol.

2. The process of claim 1, further comprising the step of:

- 10 (iii) sulfonylating the compound from step (ii) to provide a 4-nitrotetrazolo[1,5-a]quinolin-5-sulfonate.

3. The process of claim 2, further comprising the step of:

- (iv) reacting the compound from step (iii) with an amine to provide a (5-substituted)-4-nitrotetrazolo[1,5-a]quinolin-5-amine.
15

4. The process of claim 3, further comprising the step of:

- (v) reducing the compound from step (iv) to provide a (5-substituted)tetrazolo[1,5-a]quinoline-4,5-diamine.
20

5. The process of claim 4, further comprising the step of:

- (vi) reacting the compound from step (v) with a carboxylic acid or an equivalent thereof to provide a (5-substituted) (6-substituted) 6H-imidazo[4,5-c]tetrazolo[1,5-a]quinoline.
25

6. The process of claim 5, further comprising the step of:

- (vii) reacting the compound from step (vi) with triphenylphosphine to provide a (1-substituted) (2-substituted) N-triphenylphosphinyl-1H-imidazo[4,5-c]quinolin-4-amine.
30

7. The process of claim 6, further comprising the steps of:
- (viii) hydrolyzing the compound from step (vii) to provide a (1-substituted) (2-substituted) 1H-imidazo[4,5-c]quinolin-4-amine; and
- (xi) isolating the (1-substituted) (2-substituted) 1H-imidazo[4,5-c]quinolin-4-amine or a pharmaceutically acceptable addition salt thereof.
- 5
8. A process for preparing a chemical compound comprising the steps of:
- (i) providing a (4-substituted) amino-2-chloro-3-nitroquinoline; and
- 10 (ii) reacting the compound from step (i) with sodium azide to provide a (5-substituted)-4-nitrotetrazolo[1,5-a]quinolin-5-amine.
9. The process of claim 8, further comprising the step of:
- (iii) reducing the compound from step (ii) to provide a (5-
- 15 substituted)tetrazolo[1,5-a]quinoline-4,5-diamine.
10. The process of claim 9, further comprising the step of:
- (iv) reacting the compound from step (iii) with a carboxylic acid or an equivalent thereof to provide a (5-substituted) (6-substituted) 6H-imidazo[4,5-
- 20 c]tetrazolo[1,5-a]quinoline.
11. The process of claim 10, further comprising the step of:
- (v) reacting the compound from step (iv) with triphenylphosphine to provide a (1-substituted) (2-substituted) N-triphenylphosphinyl-1H-imidazo[4,5-c]quinolin-
- 25 4-amine.
12. The process of claim 11, further comprising the steps of:
- (vi) hydrolyzing the compound from step (v) to provide a (1-substituted) (2-substituted) 1H-imidazo[4,5-c]quinolin-4-amine; and
- 30 (vii) isolating the (1-substituted) (2-substituted) 1H-imidazo[4,5-c]quinolin-4-amine or a pharmaceutically acceptable addition salt thereof.

13. A process for preparing a chemical compound comprising the steps of:

- (i) providing a (1-substituted) (2-substituted) 4-chloro-1H-imidazo[4,5-c]quinoline; and
- 5 (ii) reacting the compound from step (i) with hydrazine to provide a (1-substituted) (2-substituted) 4-hydrazino-1H-imidazo[4,5-c]quinoline.

14. The process of claim 13, further comprising the step of:

- (iii) reacting the compound from step (ii) with sodium nitrite to provide a (5-substituted) (6-substituted) 6H-imidazo[4,5-c]tetrazolo[1,5-a]quinoline.

15. The process of claim 14, further comprising the step of:

- (iv) reacting the compound from step (iii) with triphenylphosphine to provide a (1-substituted) (2-substituted) N-triphenylphosphinyl-1H-imidazo[4,5-c]quinolin-4-amine.

16. The process of claim 15, further comprising the steps of:

- (v) hydrolyzing the compound from step (iv) to provide a (1-substituted) (2-substituted) 1H-imidazo[4,5-c]quinolin-4-amine; and
- 20 (vi) isolating the (1-substituted) (2-substituted) 1H-imidazo[4,5-c]quinolin-4-amine or a pharmaceutically acceptable addition salt thereof.

17. A process for preparing a chemical compound comprising the steps of:

- 25 (i) providing a (5-substituted) (6-substituted) 6H-imidazo[4,5-c]tetrazolo[1,5-a]quinoline; and
- (ii) reacting the compound from step (i) with triphenylphosphine to provide a (1-substituted) (2-substituted) N-triphenylphosphinyl-1H-imidazo[4,5-c]quinolin-4-amine.

30

18. The process of claim 17, further comprising the steps of:

- (iii) hydrolyzing the compound from step (ii) to provide a (1-substituted) (2-substituted) 1H-imidazo[4,5-c]quinolin-4-amine; and
- (vi) isolating the (1-substituted) (2-substituted) 1H-imidazo[4,5-c]quinolin-4-amine or a pharmaceutically acceptable addition salt thereof.

5

19. A process according to Claim 7 wherein the (1-substituted) (2-substituted) 1H-imidazo[4,5-c]quinolin-4-amine is 1-(2-methylpropyl)-1H-imidazo[4,5-c]quinolin-4-amine.

10 20. A process according to Claim 12 wherein the (1-substituted) (2-substituted) 1H-imidazo[4,5-c]quinolin-4-amine is 1-(2-methylpropyl)-1H-imidazo[4,5-c]quinolin-4-amine.

21. A process according to Claim 16 wherein the (1-substituted) (2-substituted) 1H-imidazo[4,5-c]quinolin-4-amine is 1-(2-methylpropyl)-1H-imidazo[4,5-c]quinolin-4-amine.

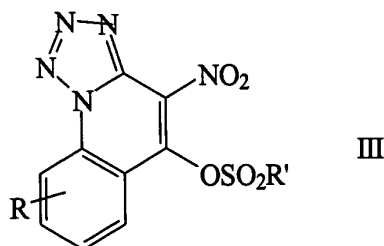
22. A process according to Claim 18 wherein the (1-substituted) (2-substituted) 1H-imidazo[4,5-c]quinolin-4-amine is 1-(2-methylpropyl)-1H-imidazo[4,5-c]quinolin-4-amine.

20

23. The compound 4-nitrotetrazolo[1,5-a]quinolin-5-ol.

24. A compound of Formula III

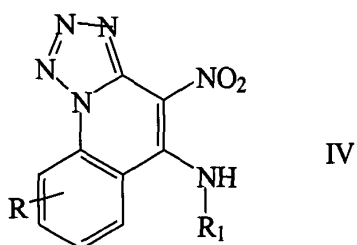
25



wherein R' is selected from the group consisting of alkyl, haloalkyl and aryl.

25. A compound according to Claim 24 wherein R' is trifluoromethyl.

5 26. A compound according to Formula IV

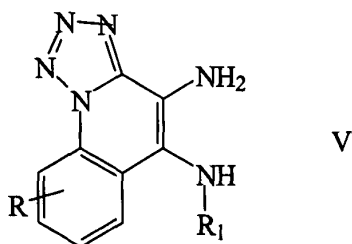


wherein R₁ is selected from the group consisting of alkyl of one to about six carbon
10 atoms, hydroxy alkyl wherein the alkyl moiety contains one to about 6 carbon
atoms, and arylalkyl wherein the alkyl moiety contains one to about three carbon
atoms.

27. A compound according to Claim 26 wherein R₁ is selected from the
15 group consisting of 2-methylpropyl, 2-hydroxy-2-methylpropyl, benzyl and
phenylethyl.

28. A compound according to Claim 27 wherein R₁ is 2-methylpropyl.

20 29. A compound of Formula V



wherein R₁ is selected from the group consisting of alkyl of one to about six carbon atoms, hydroxy alkyl wherein the alkyl moiety contains one to about 6 carbon atoms, and arylalkyl wherein the alkyl moiety contains one to about three carbon atoms.

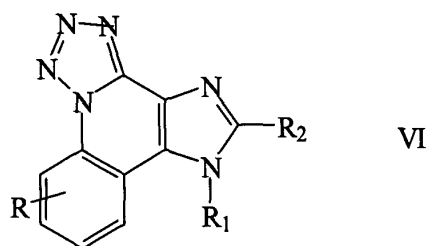
5

30. A compound according to Claim 29 wherein R₁ is selected from the group consisting of 2-methylpropyl, 2-hydroxy-2-methylpropyl, benzyl and phenylethyl.

10

31. A compound according to Claim 30 wherein R₁ is 2-methylpropyl.

32. A compound of Formula VI



15

wherein R₁ is selected from the group consisting of hydrogen, alkyl of one to about six carbon atoms, hydroxy alkyl wherein the alkyl moiety contains one to about 6 carbon atoms, and arylalkyl wherein the alkyl moiety contains one to about three carbon atoms; and R₂ is selected from the group consisting of hydrogen, alkyl of one to about four carbon atoms, alkoxyalkyl wherein the alkoxy moiety contains one to about four carbon atoms and the alkyl moiety contains one to about four carbon atoms, hydroxyl alkyl wherein the alkyl moiety contains one to about four carbon atoms, haloalkyl wherein the alkyl moiety contains one to about four carbon atoms, and aryloxymethyl.

25

33. A compound according to Claim 32 wherein R₁ is selected from the group consisting of hydrogen, 2-methylpropyl, 2-hydroxy-2-methylpropyl, benzyl and phenylethyl.

5 34. A compound according to Claim 32 wherein R₂ is selected from the group consisting of hydrogen, methyl, ethoxymethyl, and benzyl.

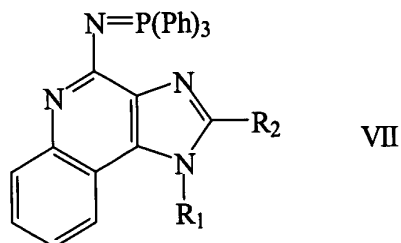
35. A compound according to Claim 32 wherein R₁ is 2-methylpropyl and R₂ is hydrogen.

10

36. A compound according to Claim 32 wherein R₁ is hydrogen and R₂ is hydrogen.

37. A compound of Formula VII

15



wherein R₁ is selected from the group consisting of hydrogen, alkyl of one to about six carbon atoms, hydroxy alkyl wherein the alkyl moiety contains one to about 6
20 carbon atoms, and arylalkyl wherein the alkyl moiety contains one to about three carbon atoms; and R₂ is selected from the group consisting of hydrogen, alkyl of one to about four carbon atoms, alkoxyalkyl wherein the alkoxy moiety contains one to about four carbon atoms and the alkyl moiety contains one to about four carbon atoms, hydroxyl alkyl wherein the alkyl moiety contains one to about four
25 carbon atoms, haloalkyl wherein the alkyl moiety contains one to about four carbon atoms, and aryloxymethyl.

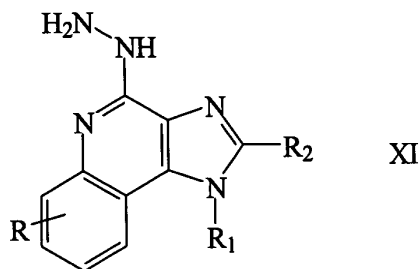
38. A compound according to Claim 37 wherein R₁ is selected from the group consisting of hydrogen, 2-methylpropyl, 2-hydroxy-2-methylpropyl, benzyl and phenylethyl and R₂ is selected from the group consisting of hydrogen, methyl, ethoxymethyl, and benzyl.

5

39. A compound according to Claim 38 wherein R₁ is 2-methylpropyl and R₂ is hydrogen.

40. A compound of Formula XI

10



wherein R₁ is selected from the group consisting of hydrogen, alkyl of one to about six carbon atoms, hydroxy alkyl wherein the alkyl moiety contains one to about 6
15 carbon atoms, and arylalkyl wherein the alkyl moiety contains one to about three carbon atoms; and R₂ is selected from the group consisting of hydrogen, alkyl of one to about four carbon atoms, alkoxyalkyl wherein the alkoxy moiety contains one to about four carbon atoms and the alkyl moiety contains one to about four carbon atoms, hydroxyl alkyl wherein the alkyl moiety contains one to about four
20 carbon atoms, haloalkyl wherein the alkyl moiety contains one to about four carbon atoms, and aryloxymethyl.

41. A compound according to Claim 40 wherein R₁ is selected from the group consisting of hydrogen, 2-methylpropyl, 2-hydroxy-2-methylpropyl, benzyl
25 and phenylethyl and R₂ is selected from the group consisting of hydrogen, methyl, ethoxymethyl, and benzyl.

42. A compound according to Claim 41 wherein R_1 is 2-methylpropyl and R_2 is hydrogen.